

From the INTERNATIONAL BUREAU

(Kw:)

## PCT

FIRST NOTICE INFORMING THE APPLICANT OF  
THE COMMUNICATION OF THE INTERNATIONAL  
APPLICATION (TO DESIGNATED OFFICES WHICH  
DO NOT APPLY THE 30 MONTH TIME LIMIT  
UNDER ARTICLE 22(1))

(PCT Rule 47.1(c))

|                                                                  |
|------------------------------------------------------------------|
| Date of mailing (day/month/year)<br>28 October 2004 (28.10.2004) |
|------------------------------------------------------------------|

|                                                      |                  |  |
|------------------------------------------------------|------------------|--|
| Applicant's or agent's file reference<br>1112WOORD01 | IMPORTANT NOTICE |  |
|------------------------------------------------------|------------------|--|

|                                                    |                                                                          |                                                              |
|----------------------------------------------------|--------------------------------------------------------------------------|--------------------------------------------------------------|
| International application No.<br>PCT/EP2004/050373 | International filing date (day/month/year)<br>26 March 2004 (26.03.2004) | Priority date (day/month/year)<br>27 March 2003 (27.03.2003) |
|----------------------------------------------------|--------------------------------------------------------------------------|--------------------------------------------------------------|

|                                     |
|-------------------------------------|
| Applicant<br>ALTANA PHARMA AG et al |
|-------------------------------------|

- ATTENTION: For any designated Office(s), for which the time limit under Article 22(1), as in force from 1 April 2002 (30 months from the priority date), **does apply**, please see Form PCT/IB/308(Second and Supplementary Notice) (to be issued promptly after the expiration of 28 months from the priority date).
- Notice is hereby given that the following designated Office(s), for which the time limit under Article 22(1), as in force from 1 April 2002, **does not apply**, has/have requested that the communication of the international application, as provided for in Article 20, be effected under Rule 93bis.1. The International Bureau has effected that communication on the date indicated below:  
07 October 2004 (07.10.2004)

CH

In accordance with Rule 47.1(c-bis)(i), those Offices will accept the present notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

- The following designated Offices, for which the time limit under Article 22(1), as in force from 1 April 2002, **does not apply**, have not requested, as at the time of mailing of the present notice, that the communication of the international application be effected under Rule 93bis.1 :

FI, LU, SE, TZ, UG, ZM

In accordance with Rule 47.1(c-bis)(ii), those Offices accept the present notice as conclusive evidence that the Contracting State for which that Office acts as a designated Office does not require the furnishing, under Article 22, by the applicant of a copy of the international application.

## 4. TIME LIMITS for entry into the national phase

For the designated Office(s) listed above, and unless a demand for international preliminary examination has been filed before the expiration of 19 months from the priority date (see Article 39(1)), the applicable time limit for entering the national phase will, subject to what is said in the following paragraph, be 20 MONTHS from the priority date.

In practice, time limits other than the 20-month time limit will continue to apply, for various periods of time, in respect of certain of the designated Offices listed above. For regular updates on the applicable time limits (20 or 21 months, or other time limit), Office by Office, refer to the *PCT Gazette*, the *PCT Newsletter* and the *PCT Applicant's Guide*, Volume II, National Chapters, all available from WIPO's Internet site, at <http://www.wipo.int/pct/en/index.html>.

It is the applicant's sole responsibility to monitor all these time limits.

|     |                                                                        |
|-----|------------------------------------------------------------------------|
| To: | RECEIVED<br>05. Nov. 2004<br>Gewerblicher<br>Rechtsschutz<br>ALLEMAGNE |
|-----|------------------------------------------------------------------------|

From the INTERNATIONAL BUREAU

(Kr:)

**PCT**

SECOND AND SUPPLEMENTARY NOTICE  
INFORMING THE APPLICANT OF THE  
COMMUNICATION OF THE INTERNATIONAL  
APPLICATION (TO DESIGNATED OFFICES  
WHICH APPLY THE 30 MONTH TIME  
LIMIT UNDER ARTICLE 22(1))

(PCT Rule 47.1(c))

Date of mailing (day/month/year)  
28 July 2005 (28.07.2005)

To:

RUPP, Herbert  
Altana Pharma AG  
Byk-Gulden-Str. 2  
78467 Konstanz  
ALLEMAGNE

EINGANG/RECEIVED

03. Aug. 2005

Europäische Patentbehörde/  
Intellectual Property  
ALTANA Pharma AG

Applicant's or agent's file reference  
1112WOORD01

**IMPORTANT NOTICE**

International application No.  
PCT/EP2004/050373

International filing date (day/month/year)  
26 March 2004 (26.03.2004)

Priority date (day/month/year)  
27 March 2003 (27.03.2003)

Applicant

ALTANA PHARMA AG et al

- ATTENTION: For any designated Office(s), for which the time limit under Article 22(1), as in force from 1 April 2002 (30 months from the priority date), does not apply, please see Form PCT/IB/308(First Notice) issued previously.
- Notice is hereby given that the following designated Office(s), for which the time limit under Article 22(1), as in force from 1 April 2002, does apply, has/have requested that the communication of the international application, as provided for in Article 20, be effected under Rule 93bis.1. The International Bureau has effected that communication on the date indicated below:  
07 October 2004 (07.10.2004)

AU, AZ, BY, CN, CO, DZ, EP, HU, KG, KP, KR, MD, MK, MZ, NA, RU, SY, TM, US

In accordance with Rule 47.1(c-bis)(i), those Offices will accept the present notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

- The following designated Offices, for which the time limit under Article 22(1), as in force from 1 April 2002, does apply, have not requested, as at the time of mailing of the present notice, that the communication of the international application be effected under Rule 93bis.1 :

AE, AG, AL, AM, AP, AT, BA, BB, BG, BR, BW, BZ, CA, CR, CU, CZ, DE, DK, DM, EA, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KZ, LC, LK, LR, LS, LT, LV, MA, MG, MN, MW, MX, NI, NO, NZ, OA, OM, PG, PH, PL, PT, RO, SC, SD, SG, SK, SL, TJ, TN, TR, TT, UA, UZ, VC, VN, YU, ZA, ZW

In accordance with Rule 47.1(c-bis)(ii), those Offices accept the present notice as conclusive evidence that the Contracting State for which that Office acts as a designated Office does not require the furnishing, under Article 22, by the applicant of a copy of the international application.

**4. TIME LIMITS for entry into the national phase**

For the designated or elected Office(s) listed above, the applicable time limit for entering the national phase will, subject to what is said in the following paragraph, be 30 MONTHS from the priority date.

In practice, time limits other than the 30-month time limit will continue to apply, for various periods of time, in respect of certain of the designated or elected Office(s) listed above. For regular updates on the applicable time limits (30 or 31 months, or other time limit), Office by Office, refer to the *PCT Gazette*, the *PCT Newsletter* and the *PCT Applicant's Guide*, Volume II, National Chapters, all available from WIPO's Internet site, at <http://www.wipo.int/pct/en/index.html>.

It is the applicant's sole responsibility to monitor all these time limits.

From the INTERNATIONAL BUREAU

(Kw:)

## PCT

INFORMATION CONCERNING ELECTED  
OFFICES NOTIFIED OF THEIR ELECTION

(PCT Article 31(7) and Rule 61.3)

To:

RUPP, Herbert  
 Altana Pharma AG  
 Byk-Gulden-Str. 2  
 78467 Konstanz  
 ALLEMAGNE

E I N G A N G  
 R E C E I V E D

24. Nov. 2004

Gewerblicher  
 Rechtsschutz

Date of mailing (day/month/year)  
 11 November 2004 (11.11.2004)

Applicant's or agent's file reference  
 1112WOORD01

## IMPORTANT INFORMATION

International application No.  
 PCT/EP2004/050373

International filing date (day/month/year)  
 26 March 2004 (26.03.2004)

Priority date (day/month/year)  
 27 March 2003 (27.03.2003)

Applicant

ALTANA PHARMA AG et al

1. The applicant is hereby informed that the International Bureau has, according to Article 31(7), notified each of the following Offices of its election:

**EP:** AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

**National:** BG, CA, CN, CZ, DE, JP, KP, KR, MN, NO, PL, RO, RU, SK, US

2. The following Offices have waived the requirement for the notification of their election; the notification will be sent to them by the International Bureau only upon their request:

**AP:** BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW

**EA:** AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

**OA:** BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

**National:** AE, AG, AL, AM, AT, AU, AZ, BA, BB, BR, BW, BY, BZ, CH, CO, CR, CU, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MZ, NA, NI, NZ, OM, PG, PH, PT, SC, SD, SE, SG, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

3. Since the election(s) was (were) made before the expiration of 19 months from the priority date, the applicant is reminded that he must enter the "national phase" before the expiration of 30 months from the priority date before each of the Offices listed above. This must be done by paying the national fee(s) and furnishing, if prescribed, a translation of the international application (Article 39(1)(a)), as well as, where applicable, by furnishing a translation of any annexes of the international preliminary report on patentability (Chapter II of the Patent Cooperation Treaty) (Article 36(3)(b) and Rule 74.1).

Some Offices have fixed time limits expiring later than the above-mentioned time limit. See the Annex to Form PCT/IB/301 and, for details about the applicable time limits, Office by Office, see the *PCT Applicant's Guide*, Volume II, National Chapters, the *PCT Newsletter* and the WIPO Internet site, updated regularly.

## PATENT COOPERATION TREATY

K:

From the INTERNATIONAL BUREAU

PCT

**NOTIFICATION CONCERNING  
SUBMISSION OR TRANSMITTAL  
OF PRIORITY DOCUMENT**

(PCT Administrative Instructions, Section 411)

|                                                                      |                               |
|----------------------------------------------------------------------|-------------------------------|
| Date of mailing (day/month/year)<br>15 June 2004 (15.06.2004)        | <b>IMPORTANT NOTIFICATION</b> |
| Applicant's or agent's file reference<br>1112WOORD01                 |                               |
| International application No.<br>PCT/EP2004/050373                   |                               |
| International publication date (day/month/year)<br>Not yet published |                               |
| Applicant<br>ALTANA PHARMA AG et al                                  |                               |

To:

RUPP, Herbert  
Altana Pharma AG  
Byk-Gulden-Str. 2  
78467 Konstanz  
Germany

EINGANG  
RECEIVED

25. Juni 2004

Gewerblicher  
Rechtsschutz

1. By means of this Form, which replaces any previously issued notification concerning submission or transmittal of priority documents, the applicant is hereby notified of the date of receipt by the International Bureau of the priority document(s) relating to all earlier application(s) whose priority is claimed. Unless otherwise indicated by the letters "NR", in the right-hand column or by an asterisk appearing next to a date of receipt, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).
2. (If applicable) The letters "NR" appearing in the right-hand column denote a priority document which, on the date of mailing of this Form, had not yet been received by the International Bureau under Rule 17.1(a) or (b). Where, under Rule 17.1(a), the priority document must be submitted by the applicant to the receiving Office or the International Bureau, but the applicant fails to submit the priority document within the applicable time limit under that Rule, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.
3. (If applicable) An asterisk(\*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b) (the priority document was received after the time limit prescribed in Rule 17.1(a) or the request to prepare and transmit the priority document was submitted to the receiving Office after the applicable time limit under Rule 17.1(b)). Even though the priority document was not furnished in compliance with Rule 17.1(a) or (b), the International Bureau will nevertheless transmit a copy of the document to the designated Offices, for their consideration. In case such a copy is not accepted by the designated Office as priority document, Rule 17.1(c) provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

| <u>Priority date</u>      | <u>Priority application No.</u> | <u>Country or regional Office<br/>or PCT receiving Office</u> | <u>Date of receipt<br/>of priority document</u> |
|---------------------------|---------------------------------|---------------------------------------------------------------|-------------------------------------------------|
| 27 Marc 2003 (27.03.2003) | 03007007.2                      | EP                                                            | 24 May 2004 (24.05.2004)                        |
| 27 Marc 2003 (27.03.2003) | 103 14 097.2                    | DE                                                            | 13 May 2004 (13.05.2004)                        |

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Authorized officer

Brigitte WYSS

**PCT REQUEST**

Paper Copy (NOT for submission)

|       |                                                                                                                                                    |                                                                                 |
|-------|----------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------|
| 0     | <b>For receiving Office use only</b>                                                                                                               |                                                                                 |
| 0-1   | International Application No.                                                                                                                      |                                                                                 |
| 0-2   | International Filing Date                                                                                                                          |                                                                                 |
| 0-3   | Name of receiving Office and "PCT International Application"                                                                                       |                                                                                 |
| 0-4   | <b>Form - PCT/RO/101 PCT Request</b>                                                                                                               |                                                                                 |
| 0-4-1 | Prepared Using                                                                                                                                     | <b>PCT Online Filing<br/>Version 3.50 (Build 0001.159)</b>                      |
| 0-5   | <b>Petition</b><br><br>The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty |                                                                                 |
| 0-6   | <b>Receiving Office (specified by the applicant)</b>                                                                                               | <b>European Patent Office (EPO) (RO/EP)</b>                                     |
| 0-7   | <b>Applicant's or agent's file reference</b>                                                                                                       | <b>1112WOORD01</b>                                                              |
| I     | <b>Title of Invention</b>                                                                                                                          | <b>PROCESS FOR PREPARING CRYSTALLINE CICLESONIDE WITH DEFINED PARTICLE SIZE</b> |
| II    | <b>Applicant</b>                                                                                                                                   |                                                                                 |
| II-1  | This person is:                                                                                                                                    | <b>applicant only</b>                                                           |
| II-2  | Applicant for                                                                                                                                      | <b>all designated States except US</b>                                          |
| II-4  | Name:                                                                                                                                              | <b>ALTANA PHARMA AG</b>                                                         |
| II-5  | Address:                                                                                                                                           | <b>Byk-Gulden-Str. 2<br/>78467 Konstanz<br/>Germany</b>                         |
| II-6  | State of nationality                                                                                                                               | <b>DE</b>                                                                       |
| II-7  | State of residence                                                                                                                                 | <b>DE</b>                                                                       |
| II-8  | Telephone No.                                                                                                                                      | <b>+49 - (0) 7531-84-5295</b>                                                   |
| II-9  | Facsimile No.                                                                                                                                      | <b>+49 - (0) 7531-84-5321</b>                                                   |
| II-10 | e-mail                                                                                                                                             | <b>DEKON.IPPA-DE@altanapharma.com</b>                                           |

## PCT REQUEST

Paper Copy (NOT for submission)

|         |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |  |
|---------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--|
| III-1   | <b>Applicant and/or Inventor</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |  |
| III-1-1 | This person is: <b>applicant and inventor</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |  |
| III-1-2 | Applicant for <b>US only</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |  |
| III-1-3 | Inventor for<br><br>AP: (AM AT AZ BE BF BG BJ BW BY CF CG CH &LI CI CM CY CZ DE DK EE ES FI FR GA GB GH GM GN GQ GR GW HU IE IT KE KG KZ LS LU MC MD ML MR MW MZ NE NL PL PT RO RU SD SE SI SK SL SN SZ TD TGTJ TMTRTZ UG ZM ZW) ; EA: (AM AT AZ BE BF BG BJ BY CF CG CH&LI CI CM CY CZ DE DK EE ES FI FR GA GB GN GQ GR GW HU IE IT KG KZ LU MC MD ML MR NE NL PL PT RO RU SE SI SK SN TD TGTJ TMTR) ; EP: (AT BE BF BG BJ CF CG CH&LI CI CM CY CZ DE DK EE ES FI FR GA GB GN GQ GR GW HU IE IT LU MC ML MR NE NL PL PT RO SE SI SK SN TD TGTR) ; OA: (BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG) ; AE AG AL AM AM AT AT AU AZ AZ BA BB BE BF BG BG BJ BR BW BY BY BZ CA CF CG CH&LI CI CM CN CO CR CU CY CZ CZ DE DK DK DM DZ EC EE EE EG ES ES FI FI FR GA GB GB GD GE GH GH GM GM GN GQ GR GW HR HU ID IE IL IN IS IT JP KE KE KG KG KP KR KZ KZ LC LK LR LS LS LT LU LU LV MA MC MD MD MG MK ML MN MR MW MW MX MZ MZ NA NE NI NL NO NZ OM PG PH PL PL PT PT RO RO RU RU SC SD SD SE SE SG SI SK SK SL SL SN SY SZ TD TGTJ TJ TM TMTN TR TRTT TZ TZ UA UG UG US UZ VC VN YU ZA ZM ZM ZWZW |  |
| III-1-4 | Name (LAST, First) <b>SCHMIDT, Beate</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |  |
| III-1-5 | Address: <b>Allensbacher Str. 5<br/>78476 Allensbach<br/>Germany</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |  |
| III-1-6 | State of nationality <b>DE</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |  |
| III-1-7 | State of residence <b>DE</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |  |
| III-2   | <b>Applicant and/or Inventor</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |  |
| III-2-1 | This person is: <b>inventor only</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |  |
| III-2-4 | Name (LAST, First) <b>EISTETTER, Klaus</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |  |
| III-2-5 | Address: <b>Saentisblick 7<br/>78465 Konstanz<br/>Germany</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |  |

INTERNATIONAL PRELIMINARY  
REPORT ON PATENTABILITY  
(SEPARATE SHEET)

10/549631  
JC18 Rec'd PCT/PTO 20 SEP 2005  
International application No.  
PCT/EP2004/050373

Re Item V

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

Reference is made to the following documents:

- D1: US-A-4 605 517 (RILEY DEREK C ET AL) 12 August 1986 (1986-08-12)
- D2: US-B-6 482 4381 (THEOPHILUS ANDREW ET AL) 19 November 2002 (2002-11-19)
- D3: WO 02/38584 A (BYK GULDEN LOMBERG CHEM FAB ; GUTTERER BEATE (DE)) 16 May 2002 (2002-05-16)
- D4: DE 196 35 498 A (BYK GULDEN LOMBERG CHEM FAB) 26 March 1998 (1998-03-26)
- D5: WO 01/00046 A (COGNIS DEUTSCHLAND GMBH ; SCHROEDER CHRISTINE (DE); DOLHAINE HANS (DE)) 4 January 2001 (2001-01-04)

**1) Article 33(2) PCT**

The application refers to a process for the preparation of crystalline ciclesonide with defined particle size.

a) Regarding the novelty of claims 1-18 although documents D1 and D2 pertain to a process for the preparation of crystalline particles of defined particle size no specific mention is made to ciclesonide. The same applies to document D5 which recites a process for the preparation of nanoscale sterols. Documents D4 and D3 in essence relate to a process for the synthesis and epimere-enrichment of ciclesonide respectively with no reference to particle size definition per se. The aforementioned claims are therefore considered to be novel under Article 33(2) PCT.

b) Claims 19-21 however relate to a compound obtainable from the steps of claim 1 of the present application. However, a product is not rendered novel, when prepared via a novel process. Thus, claims 19-21 are not novel over the ciclesonide of D3 or D4. By virtue of the same reasoning claims 25-27 suffer from the same deficiency.

c) In addition claims 22, 23 and 24 define the compound (ciclesonide) by way of parameters. The skilled person is left in doubt as to what falls under the scope of the claim. Such claim language is considered by this Authority to be unclear in the meaning of Article 6 PCT. By virtue of the same reasoning claims 16, 17 and 20 suffer from the same deficiency.

**INTERNATIONAL PRELIMINARY  
REPORT ON PATENTABILITY  
(SEPARATE SHEET)**

International application No.

PCT/EP2004/050373

**2) Article 33(3) PCT**

The Examining Division consider that D1 represents the closest prior art. D1 discloses a process for the preparation of particles of defined size for *inter alia* pharmaceutical compositions in particular steroids.

The alleged invention of the current application provides a method for the preparation of pharmaceutica of defined size whereby the pharmaceutical compound is ciclesonide.

The objective problem underlying the present application is thus "the provision of a further process for the preparation of a pharmaceutical compound of defined size".

According to the present application the solution to the above problem lies in a process whereby the ciclesonide is dissolved in a water-miscible solvent which is subsequently added to water. This leads to the isolation of ciclesonide owing to the fact that it is rendered crystalline. The particles thus produced are of a defined size.

However, such a process for the preparation of particles of defined size is known from document D1. D1 refers to compounds very similar in structure when compared to ciclesonide and produces particles of the claimed size. Furthermore, document D2 describes a process especially for the preparation of particles of therapeutically useful substances within size ranges suitable for inhalation therapy, an medicinal application also claimed by the present invention. When faced with the teachings of either D1 or D2 the skilled person would be prompted to crystallize ciclesonide without the use of inventive skill thus producing ciclesonide of defined size.

The subject matter of the present application does not therefore fulfill the requirements of Article 33(3) PCT

**Re Item VII**

**Certain defects in the international application**

Claim 9 referring to the temperature of the water should be dependent to claim 8 and not to claim 7.

**INTERNATIONAL PRELIMINARY  
REPORT ON PATENTABILITY  
(SEPARATE SHEET)**

International application No.

**PCT/EP2004/050373**

**Re Item VIII**

**Certain observations on the international application**

- 1) The wording "substantially" in claim 11 is objected under Article 6 PCT, as it is unclear the percentage of the R epimere meant.
- 2) Additionally to point V c), further clarity objections apply for the missing lower limit of the  $X_{50}$  in claims 16 and 21.
- 3) Claim 19 relates to a known compound, ciclesonide defined by reference to a desirable characteristic or property, namely "in inhalable form". The application however does not provide support within the meaning of Article 6 PCT and / or disclosure within the meaning of Article 5 PCT for such definition.

**PCT REQUEST**

Paper Copy (NOT for submission)

|         |                                                                                                                                                                                                                                                                                                |                                                                               |
|---------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------|
| III-3   | <b>Applicant and/or inventor</b>                                                                                                                                                                                                                                                               |                                                                               |
| III-3-1 | This person is:                                                                                                                                                                                                                                                                                | <b>inventor only</b>                                                          |
| III-3-4 | Name (LAST, First)                                                                                                                                                                                                                                                                             | <b>KAUPP, Stefan</b>                                                          |
| III-3-5 | Address:                                                                                                                                                                                                                                                                                       | <b>Friedrichstr. 4<br/>78315 Radolfzell<br/>Germany</b>                       |
| III-4   | <b>Applicant and/or inventor</b>                                                                                                                                                                                                                                                               |                                                                               |
| III-4-1 | This person is:                                                                                                                                                                                                                                                                                | <b>inventor only</b>                                                          |
| III-4-4 | Name (LAST, First)                                                                                                                                                                                                                                                                             | <b>STURM, Ernst</b>                                                           |
| III-4-5 | Address:                                                                                                                                                                                                                                                                                       | <b>Bohlstraße 14<br/>78465 Konstanz<br/>Germany</b>                           |
| IV-1    | <b>Agent or common representative; or address for correspondence</b><br><br>The person identified below is hereby/ has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:                                                                  | <b>agent</b>                                                                  |
| IV-1-1  | Name (LAST, First)                                                                                                                                                                                                                                                                             | <b>RUPP, Herbert</b>                                                          |
| IV-1-2  | Address:                                                                                                                                                                                                                                                                                       | <b>c/o ALTANA Pharma AG, Byk-Gulden-Str. 2<br/>78467 Konstanz<br/>Germany</b> |
| IV-1-3  | Telephone No.                                                                                                                                                                                                                                                                                  | <b>+49 (0) 7531-84-5314</b>                                                   |
| IV-1-4  | Facsimile No.                                                                                                                                                                                                                                                                                  | <b>+49 (0) 7531-84-5321</b>                                                   |
| IV-1-5  | e-mail                                                                                                                                                                                                                                                                                         | <b>DEKON.IPPA-DE@altanapharma.com</b>                                         |
| IV-1-6  | Agent's registration No.                                                                                                                                                                                                                                                                       | <b>00052372.0</b>                                                             |
| V       | <b>DESIGNATIONS</b>                                                                                                                                                                                                                                                                            |                                                                               |
| V-1     | <b>The filling of this request constitutes under Rule 4.9(a), the designation of all Contracting States bound by the PCT on the international filing date, for the grant of every kind of protection available and, where applicable, for the grant of both regional and national patents.</b> |                                                                               |
| VI-1    | <b>Priority claim of earlier regional application</b>                                                                                                                                                                                                                                          |                                                                               |
| VI-1-1  | Filing date                                                                                                                                                                                                                                                                                    | <b>27 March 2003 (27.03.2003)</b>                                             |
| VI-1-2  | Number                                                                                                                                                                                                                                                                                         | <b>03007007.2</b>                                                             |
| VI-1-3  | Regional Office                                                                                                                                                                                                                                                                                | <b>EP</b>                                                                     |
| VI-2    | <b>Priority claim of earlier national application</b>                                                                                                                                                                                                                                          |                                                                               |
| VI-2-1  | Filing date                                                                                                                                                                                                                                                                                    | <b>27 March 2003 (27.03.2003)</b>                                             |
| VI-2-2  | Number                                                                                                                                                                                                                                                                                         | <b>10314097.2</b>                                                             |
| VI-2-3  | Country                                                                                                                                                                                                                                                                                        | <b>DE</b>                                                                     |

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|         |                                                                                                                                                                                                       |                                              |
|---------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------|
| VI-3    | <b>Priority document request</b><br>The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) identified above as item(s): | VI - 1                                       |
| VII-1   | <b>International Searching Authority Chosen</b>                                                                                                                                                       | <b>European Patent Office (EPO) (ISA/EP)</b> |
| VII-2   | <b>Request to use results of earlier search; reference to that search</b>                                                                                                                             |                                              |
| VII-2-1 | Date                                                                                                                                                                                                  | <b>07 August 2003 (07.08.2003)</b>           |
| VII-2-2 | Number                                                                                                                                                                                                | <b>03007007</b>                              |
| VII-2-3 | Country (or regional Office)                                                                                                                                                                          | <b>EP</b>                                    |
| VIII    | <b>Declarations</b>                                                                                                                                                                                   | Number of declarations                       |
| VIII-1  | Declaration as to the identity of the inventor                                                                                                                                                        | -                                            |
| VIII-2  | Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent                                                                              | <b>1</b>                                     |
| VIII-3  | Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application                                                                  | -                                            |
| VIII-4  | Declaration of inventorship (only for the purposes of the designation of the United States of America)                                                                                                | <b>1</b>                                     |
| VIII-5  | Declaration as to non-prejudicial disclosures or exceptions to lack of novelty                                                                                                                        | -                                            |

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|-------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| VIII-2-1          | <p><b>Declaration: Entitlement to apply for and be granted a patent</b><br/>           Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent (Rules 4.17(ii) and 51bis.1(a)(ii)), in a case where the declaration under Rule 4.17(iv) is not appropriate:<br/>           Name (LAST, First)</p> | <p><b>in relation to this international application</b></p> <p><b>ALTANA PHARMA AG is entitled to apply for and be granted a patent by virtue of the following:</b></p> |
| VIII-2-1(i)<br>i) |                                                                                                                                                                                                                                                                                                                                                                    | <b>ALTANA PHARMA AG is entitled as employer of the inventor, EISTETTER, Klaus</b>                                                                                       |
| VIII-2-1(i)<br>i) |                                                                                                                                                                                                                                                                                                                                                                    | <b>ALTANA PHARMA AG is entitled as employer of the inventor, KAUPP, Stefan</b>                                                                                          |
| VIII-2-1(i)<br>i) |                                                                                                                                                                                                                                                                                                                                                                    | <b>ALTANA PHARMA AG is entitled as employer of the inventor, SCHMIDT, Beate</b>                                                                                         |
| VIII-2-1(i)<br>i) |                                                                                                                                                                                                                                                                                                                                                                    | <b>ALTANA PHARMA AG is entitled as employer of the inventor, STURM, Ernst</b>                                                                                           |
| VIII-2-1(i)<br>x) | This declaration is made for the purposes of:                                                                                                                                                                                                                                                                                                                      | <b>all designations except the designation of the United States of America</b>                                                                                          |

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|------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| VIII-4-1   | <p><b>Declaration: Inventorship (only for the purposes of the designation of the United States of America)</b><br/>           Declaration of Inventorship (Rules 4.17(iv) and 51bis.1(a)(iv)) for the purposes of the designation of the United States of America:</p> <p>I hereby declare that I believe I am the original, first and sole (if only one inventor is listed below) or joint (if more than one inventor is listed below) inventor of the subject matter which is claimed and for which a patent is sought.</p> <p>This declaration is directed to the international application of which it forms a part (if filing declaration with application).</p> <p>I hereby declare that my residence, mailing address, and citizenship are as stated next to my name.</p> <p>I hereby state that I have reviewed and understand the contents of the above-identified international application, including the claims of said application. I have identified in the request of said application, in compliance with PCT Rule 4.10, any claim to foreign priority, and I have identified below, under the heading "Prior Applications", by application number, country or Member of the World Trade Organization, day, month, and year of filing, any application for a patent or inventor's certificate filed in a country other than the United States of America, including any PCT international application designating at least one country other than the United States of America, having a filing date before that of the application on which foreign priority is claimed.</p> |
| VIII-4-1-1 | Prior applications:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |

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|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------|
| <p>I hereby acknowledge the duty to disclose information that is known by me to be material to patentability as defined by 37 C.F.R. § 1.56, including for continuation-in-part applications, material information which became available between the filing date of the prior application and the PCT international filing date of the continuation-in-part application.</p> <p>I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.</p> |                                                                                                                                                                                                                                           |                                                   |
| VIII-4-1-<br>1-1                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Name (LAST, First)                                                                                                                                                                                                                        | SCHMIDT, Beate                                    |
| VIII-4-1-<br>1-2                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Residence:<br>(city and either US State, if applicable, or country)                                                                                                                                                                       | Allensbach, Germany                               |
| VIII-4-1-<br>1-3                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Mailing address:                                                                                                                                                                                                                          | Allensbacher Str. 5 D-78476 Allensbach<br>Germany |
| VIII-4-1-<br>1-4                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Citizenship:                                                                                                                                                                                                                              | DE                                                |
| VIII-4-1-<br>1-5                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Inventor's Signature:<br>(if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent) | /Beate SCHMIDT/                                   |
| VIII-4-1-<br>1-6                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Date:<br>(of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)                                                        | 26 March 2004 (26.03.2004)                        |

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| IX    | Check list                                                 | number of sheets           | electronic file(s) attached |
|-------|------------------------------------------------------------|----------------------------|-----------------------------|
| IX-1  | Request (including declaration sheets)                     | 8                          | ✓                           |
| IX-2  | Description                                                | 12                         | ✓                           |
| IX-3  | Claims                                                     | 4                          | ✓                           |
| IX-4  | Abstract                                                   | 1                          | ✓                           |
| IX-5  | Drawings                                                   | 0                          | ✓                           |
| IX-7  | <b>TOTAL</b>                                               | <b>25</b>                  |                             |
|       | <b>Accompanying Items</b>                                  | paper document(s) attached | electronic file(s) attached |
| IX-8  | Fee calculation sheet                                      | -                          | ✓                           |
| IX-17 | PCT-SAFE physical media                                    | -                          | -                           |
| IX-19 | Figure of the drawings which should accompany the abstract |                            |                             |
| IX-20 | Language of filing of the international application        | English                    |                             |
| X-1   | Signature of applicant, agent or common representative     |                            |                             |
| X-1-1 | Name (LAST, First)                                         |                            |                             |
| X-1-2 | Name of signatory                                          |                            |                             |
| X-1-3 | Capacity                                                   |                            |                             |

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|        |                                                                                                                                         |        |
|--------|-----------------------------------------------------------------------------------------------------------------------------------------|--------|
| 10-1   | Date of actual receipt of the purported international application                                                                       |        |
| 10-2   | Drawings:                                                                                                                               |        |
| 10-2-1 | Received                                                                                                                                |        |
| 10-2-2 | Not received                                                                                                                            |        |
| 10-3   | Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application |        |
| 10-4   | Date of timely receipt of the required corrections under PCT Article 11(2)                                                              |        |
| 10-5   | International Searching Authority                                                                                                       | ISA/EP |
| 10-6   | Transmittal of search copy delayed until search fee is paid                                                                             |        |

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|      |                                                                |  |
|------|----------------------------------------------------------------|--|
| 11-1 | Date of receipt of the record copy by the International Bureau |  |
|------|----------------------------------------------------------------|--|

## PCT (ANNEX - FEE CALCULATION SHEET)

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(This sheet is not part of and does not count as a sheet of the international application)

|         |                                                                                                     |                                                            |                     |      |
|---------|-----------------------------------------------------------------------------------------------------|------------------------------------------------------------|---------------------|------|
| 0       | For receiving Office use only                                                                       |                                                            |                     |      |
| 0-1     | International Application No.                                                                       |                                                            |                     |      |
| 0-2     | Date stamp of the receiving Office                                                                  |                                                            |                     |      |
| 0-4     | Form PCT/RO/101 (Annex)<br>PCT Fee Calculation Sheet<br>Prepared Using                              | <b>PCT Online Filing<br/>Version 3.50 (Build 0001.159)</b> |                     |      |
| 0-9     | Applicant's or agent's file reference                                                               | <b>1112WOORD01</b>                                         |                     |      |
| 2       | Applicant                                                                                           | <b>ALTANA PHARMA AG</b>                                    |                     |      |
| 12      | Calculation of prescribed fees                                                                      | fee amount/multiplier                                      | Total amounts (EUR) |      |
| 12-1    | Transmittal fee                                                                                     | T                                                          | ⇒                   | 100  |
| 12-2-1  | Search fee                                                                                          | S                                                          | ⇒                   | 1550 |
| 12-2-2  | International search to be carried out by                                                           | EP                                                         |                     |      |
| 12-3    | International filing fee<br>(first 30 sheets)                                                       | i1                                                         | 902                 |      |
| 12-4    | Remaining sheets                                                                                    | 0                                                          |                     |      |
| 12-5    | Additional amount                                                                                   | (X) 0                                                      |                     |      |
| 12-6    | Total additional amount                                                                             | i2                                                         | 0                   |      |
| 12-7    | i1 + i2 =                                                                                           | i                                                          | 902                 |      |
| 12-12   | Electronic Filing reduction (Full)                                                                  | R                                                          | -129                |      |
| 12-13   | Total International filing fee (i-R)                                                                | I                                                          | ⇒                   | 773  |
| 12-14   | Fee for priority document                                                                           |                                                            |                     |      |
|         | Number of priority documents requested                                                              | 1                                                          |                     |      |
| 12-15   | Fee per document                                                                                    | (X) 30                                                     |                     |      |
| 12-16   | Total priority document fee:                                                                        | P                                                          | ⇒                   | 30   |
| 12-17   | <b>TOTAL FEES PAYABLE (T+S+I+P)</b>                                                                 | ⇒                                                          | 2453                |      |
| 12-19   | Mode of payment                                                                                     | <b>authorization to charge deposit account</b>             |                     |      |
| 12-20   | Deposit account instructions                                                                        |                                                            |                     |      |
|         | The receiving Office                                                                                | <b>European Patent Office (EPO) (RO/EP)</b>                |                     |      |
| 12-20-1 | Authorization to charge the total fees indicated above.                                             | ✓                                                          |                     |      |
| 12-20-2 | Authorization to charge any deficiency or credit any overpayment in the total fees indicated above. | ✓                                                          |                     |      |
| 12-20-3 | Authorization to charge the fee for priority document.                                              | ✓                                                          |                     |      |
| 12-21   | Deposit account No.                                                                                 | <b>2800.0022</b>                                           |                     |      |
| 12-22   | Date                                                                                                | <b>26 March 2004 (26.03.2004)</b>                          |                     |      |
| 12-23   | Name and signature                                                                                  | <b>ALTANA PHARMA AG,</b>                                   |                     |      |
|         |                                                                                                     | <b>/Herbert RUPP/</b>                                      |                     |      |

**PCT (ANNEX - FEE CALCULATION SHEET)**

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**REMARKS**

|        |                          |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |
|--------|--------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 13-1-1 | <b>Applicant Remarks</b> | <p>It seems to me that the paper copy (not for submission) does not reflect the data that have been entered into PCT online Filing Software Version 3.50 (Build 0001.159). The following was intended: SCHMIDT, Beate is applicant and inventor. She is applicant for US only and inventor for all designated states. EISTETTER, Klaus is inventor only. He is inventor for all designated states with the exception of CA, PH and US. KAUPP, Stefan is inventor only. He is inventor for all designated states with the exception of CA, PH and US. STURM, Ernst is inventor only. He is inventor for all designated states with the exception of CA, PH and US.</p> |
|--------|--------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|